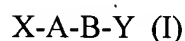


We claim:

1. A method of modulating the function of a G-protein coupled receptor (GPCR) in a mammal comprising administering to said mammal an effective amount of at least one GPCR antagonist, wherein said antagonist is a peptide, peptide
5 derivative, peptide analogue or peptidomimetic compound comprising an amino acid sequence of about 5 to about 10 amino acids, said amino acid sequence having at least 70% identity to the sequence of a juxtamembrane extracellular region of said GPCR.
2. The method according to claim 1, wherein said GPCR is selected from the group
10 of: Class A peptide receptors, Class A amide receptors, Class A prostanoid receptors, Class A nucleotide-like receptors, Class A PAF receptors, Class B GPCRs, Class C GPCRs and orphan GPCRs.
3. The method according to claim 2, wherein said GPCR is selected from the group of: Class A peptide receptors, Class A PAF receptors, Class B GPCRs and
15 orphan GPCRs.
4. The method according to claim 1, wherein said antagonist is a peptide comprising at least one D-amino acid.
5. The method according to claim 1, wherein said amino acid sequence has at least 80% identity to the sequence of a juxtamembrane extracellular region of said
20 GPCR.

6. The method according to claim 5, wherein said amino acid sequence has at least 90% identity to the sequence of a juxtamembrane extracellular region of said GPCR.
7. The method according to claim 1, wherein said antagonist further comprises one or more additional N-terminal and/ or C-terminal amino acids that do not correspond to the sequence of a juxtamembrane extracellular region of said GPCR.
8. The method according to claim 1, wherein said antagonist comprises general formula I:



wherein

X is either absent or independently selected from the group comprising: one to four amino acids, a R-CO- or a R-O-CO- group, wherein R is an alkyl, heteroalkyl, a heterocyclic ring, a heteroaromatic ring or an aromatic ring;

A is between 2 and 3 hydrophobic or neutral amino acids;

B is between 4 and 8 hydrophilic or neutral amino acids; and

Y is either absent or independently selected from a group comprising: one to four amino acids, an hydroxyl group, Gly-Lys and Gly-Lys-Lys, and

wherein the sequence A-B corresponds to the sequence of a juxtamembrane extracellular region of a GPCR.

9. The method according to claim 3, wherein said antagonist comprises at least 3 consecutive amino acids of a sequence selected from the group of: SEQ ID NOs:1 to 163.
10. The method according to claim 9, wherein said antagonist comprises at least 3 consecutive amino acids from any one of SEQ ID NOs:1 to 20, 29, 31, 33, 77 to 102.
11. The method according to claim 10, wherein said antagonist comprises at least 3 consecutive amino acids from any one of SEQ ID NOs:13 to 20, 29, 31, 33, 77 to 89.
12. A method of identifying a peptide antagonist of a mammalian GPCR comprising:
- a) culturing cells in which the GPCR is expressed;
 - b) contacting the cells with a candidate compound, said candidate compound is a peptide, peptide derivative, peptide analogue or peptidomimetic compound comprising an amino acid sequence of about 5 to about 10 amino acids, said amino acid sequence having at least 70% identity to the sequence of a juxtamembrane extracellular region of said GPCR, and
 - c) measuring at least one cellular and/or physiological consequence of modulation of GPCR function in said cells,

wherein an increase or decrease in said cellular and/or physiological consequence indicates that the candidate compound is a peptide antagonist of the GPCR.

13. The method according to claim 11, wherein said at least one cellular and/or
5 physiological consequence is selected from the group of: GTP binding and/or hydrolysis, cellular calcium levels, phosphoinositide hydrolysis, cellular cAMP levels, adenylyl cyclase activation or inhibition, protein kinase A activity, phospholipase C activity, cell growth and/or differentiation, gene expression, smooth muscle contraction or dilation, vasoconstriction or dilation, nerve cell
10 membrane potential and secretion from glandular cells.
14. A method of modulating a cellular or physiological process mediated by a mammalian G-protein coupled receptor (GPCR), said method comprising contacting cells expressing said GPCR with an effective amount of at least one GPCR antagonist, wherein said antagonist is a peptide, peptide derivative,
15 peptide analogue or peptidomimetic compound comprising an amino acid sequence of about 5 to about 10 amino acids, said amino acid sequence having at least 70% identity to the sequence of a juxtamembrane extracellular region of said GPCR.
15. The method according to claim 13, wherein said cells are *in vitro* and said
20 process is cellular.
16. The method according to claim 13, wherein said cells are *in vivo*.